



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 191325

TO: Deborah Lambkin
Location: REM/5B09/5C18
Art Unit: 1626
Thursday, June 01, 2006
Case Serial Number: 10/791524

From: Saloni Sharma
Location: Biotech-Chem Library
REM-1A64
Phone: (571)272-8601

saloni.sharma@uspto.gov

Search Notes

Examiner Lambkin,

See attached results.

If you have any questions about this search feel free to contact me at any time.

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Saloni Sharma
Technical Information Specialist
STIC Biotech/Chem Library
(571)272-8601



Access DB# 191025

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Deborah Lambur Examiner #: 71300 Date: 5/24/06
Art Unit: 1626 Phone Number 302-0699 Serial Number: 101791529 (1204)
Mail Box and Bldg/Room Location: 5218/5B09 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

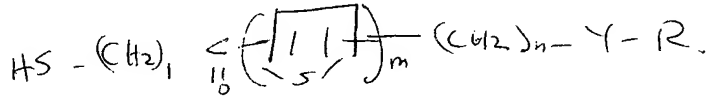
Title of Invention: Conductive Compound

Inventors (please provide full names): Jung-in Han et al

Earliest Priority Filing Date: 3/7/2003

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please Search.



Thanks

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>Pat. Sec.</u>	NA Sequence (#) _____	STN <input checked="" type="checkbox"/> _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: <u>5/24/06</u>	Bibliographic _____	Dr.Link _____
Date Completed: <u>6/1/06</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: <u>60</u>	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: <u>34</u>	Other _____	Other (specify) _____

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(FILE 'HOME' ENTERED AT 11:07:45 ON 31 MAY 2006)

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L4 6 SEA SUB=L3 SSS SAM L1
D SCAN
L5 STR L1
L6 0 SEA SUB=L3 SSS SAM L5
L7 2 SEA SUB=L3 SSS FUL L5
D SCAN

FILE 'CAPLUS' ENTERED AT 11:12:50 ON 31 MAY 2006

L8 1 SEA ABB=ON PLU=ON L7
D BIB
SEL RN L8

FILE 'REGISTRY' ENTERED AT 11:13:49 ON 31 MAY 2006

L9 14 SEA ABB=ON PLU=ON (162717-58-0/BI OR 1918-77-0/BI OR
3480-11-3/BI OR 4224-70-8/BI OR 492-97-7/BI OR 524-38-9/BI OR
7440-57-5/BI OR 752204-08-3/BI OR 752204-09-4/BI OR 752204-10-7
/BI OR 752204-11-8/BI OR 752204-12-9/BI OR 752204-13-0/BI OR
752204-15-2/BI)
D SCAN

FILE 'BEILSTEIN' ENTERED AT 11:14:59 ON 31 MAY 2006

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L11 0 SEA SSS FUL L5
L12 2 SEA ABB=ON PLU=ON L10/COM
D L12 IDE

FILE 'MARPAT' ENTERED AT 11:18:33 ON 31 MAY 2006

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BATCH L7 LAMBKIN524/B SSS FULL

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L15 E HAN J/AU
L16 7619 SEA ABB=ON PLU=ON HAN J?/AU
L17 1055 SEA ABB=ON PLU=ON CHA J?/AU
L18 796 SEA ABB=ON PLU=ON LIM G?/AU
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=> file caplus

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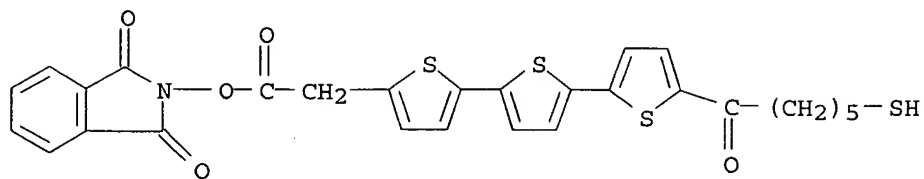
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Saloni Sharma

05/31/2006

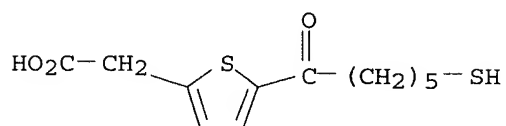


IT 752204-09-4P

RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(conductive compound, electrode and sensor containing the same, and target mol. detection method using the sensor)

RN 752204-09-4 CAPLUS

CN 2-Thiopheneacetic acid, 5-(6-mercapto-1-oxohexyl)- (9CI) (CA INDEX NAME)



=> d his nofile

(FILE 'HOME' ENTERED AT 14:15:14 ON 01 JUN 2006)

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D QHIT
L3 10 SEA SSS FUL L1
L4 10 SEA ABB=ON PLU=ON L3/COM

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L5 0 SEA SSS SAM L1

FILE 'CAPLUS' ENTERED AT 14:17:32 ON 01 JUN 2006

L6 1 SEA ABB=ON PLU=ON 2004:732254/AN

FILE 'MARPAT' ENTERED AT 14:17:58 ON 01 JUN 2006

L7 9 SEA ABB=ON PLU=ON L4 NOT L6

=> file marpat

FILE 'MARPAT' ENTERED AT 14:19:38 ON 01 JUN 2006

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FILE CONTENT: 1961-PRESENT VOL 144 ISS 22 (20060526/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

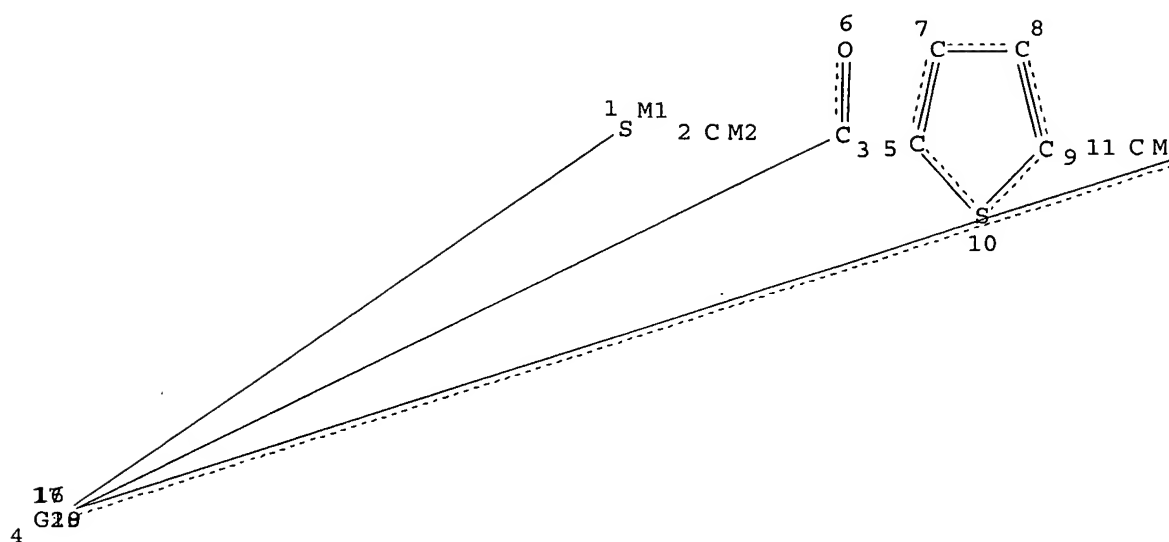
US 2006062725 23 MAR 2006
DE 102004045029 16 MAR 2006
EP 1634887 15 MAR 2006
JP 2006073583 16 MAR 2006
WO 2006045852 04 MAY 2006
GB 2416167 18 JAN 2006
FR 2875804 31 MAR 2006
RU 2270725 27 FEB 2006
CA 2518664 10 MAR 2006

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que 17

L1 STR



Page 1-A

12 NM1



2 G1 15

Page 1-B

VAR G1=12/13

REP G18=(1-4) 5-3 9-16

REP G19=(0-3) 11-15 11-17

REP G20=(3-6) 2-1 2-3

NODE ATTRIBUTES:

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 MLEVEL IS CLASS AT 1 2 3 6 11 12 13 14
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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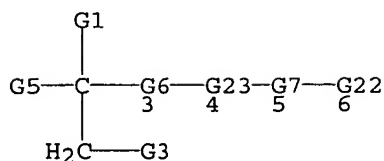
L7 ANSWER 1 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 143:432653 MARPAT
 TITLE: Combinations comprising a S1P receptor agonist and a
 JAK3 kinase inhibitor for treatment of autoimmune
 disease
 INVENTOR(S): Lake, Philip
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105146	A1	20051110	WO 2005-EP4758	20050502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2004-567677P 20040503
 US 2004-590061P 20040721

AB The invention provides a pharmaceutical combination comprising: (a) at least one sphingosine-1-phosphate (S1P) receptor agonist, and (b) at least one JAK3 kinase inhibitor (Markush structures given) and a method for treating or preventing autoimmune diseases or cell, tissue or organ graft rejection using such a combination (no data).

MSTR 6



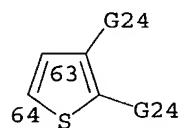
G7 = 18-4 19-6 / 30-4 32-6 / 38-4 40-6 /
43-4 44-6 / 45-4 46-6

G8-G13 G14-G15-G16 G19-G15-G18 G20-G15 G21-G25
18 19 30 31 32 38 40 43 44 45 46

G8 = C(O)
G13 = 35-18 37-6 / 41-18 42-6

G17-G15-G18 G16-G15
35 37 41 42

G15 = S
G16 = alkylene <containing 1-10 C>
(opt. substd. by (1-3) G12)
G23 = 63-3 64-5



G24 = NH2
G25 = 92-45 94-6 / 95-45 96-6

G18-G15-G18 G16-G15
92 94 95 96

Patent location: claim 3
Note: additional heteroatom interruptions also claimed
Note: substitution is restricted

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:457112 MARPAT
TITLE: Use of 2-thiadibenzo[e,h]azulenes for the manufacture
of pharmaceutical formulations for the treatment and
prevention of central nervous system diseases and
disorders
INVENTOR(S): Mercep, Mladen; Mesic, Milan; Pesic, Dijana; Ozimec
Landek, Ivana; Hrvacic, Boska; Stanic, Barbara

Saloni Sharma

06/01/2006

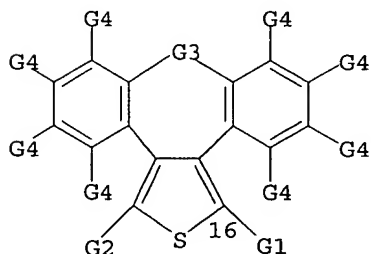
PATENT ASSIGNEE(S): Pliva-Istrazivacki Institut D.O.O., Croatia
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005041856	A2	20050512	WO 2004-HR42	20041103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: HR 2003-885 20031103

AB The invention discloses the use of 2-thiadibenzo[e,h]azulenes, and their pharmacol. acceptable salts and solvates, for the manufacture of a pharmaceutical formulation for the treatment and prevention of diseases, damages, and disorders of the central nervous system caused by disorders of neurochem. equilibrium of biogenic amines or other neurotransmitters.

MSTR 1



G1 = 122

G41—G44
122 123

G2 = CO₂H

G17 = SH

G41 = 124-16 139-123 / 140-16 142-123

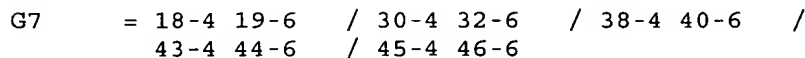
G42—G43—G47—G43 G43—G47—G43
124 139 140 142

G43 = carbon chain <containing 1 or more C,
 0-1 double bond, 0-1 triple bond> (opt. substd. by G17) /

G47 = alkylene <containing 1-3 C, unbranched>
G49 = O
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts or solvates

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2005046141	A2	20050224	JP 2004-203737	20040709
PRIORITY APPLN. INFO.:			JP 2003-195422	20030711

MSTR 1

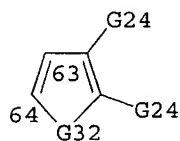


G8—G13 G14—G15—G16 G19—G15—G18 G20—G15 G21—G25
 18 19 30 31 32 38 40 43 44 45 46

G8 = C(O)
 G13 = 35-18 37-6 / 41-18 42-6

G17—G15—G18 G16—G15
 35 37 41 42

G15 = S
 G16 = alkylene <containing 1-10 C>
 (opt. substd. by (1-3) G12)
 G23 = 63-3 64-162



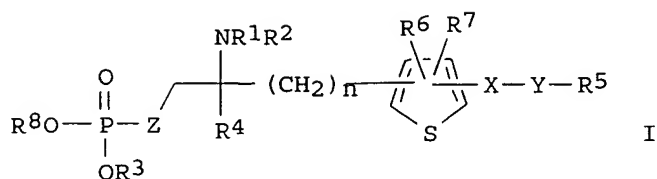
G24 = NH2
 G25 = 92-45 94-6 / 95-45 96-6

G18—G15—G18 G16—G15
 92 94 95 96

G32 = S
 Patent location: claim 1
 Note: additional heteroatom interruptions also claimed
 Note: substitution is restricted

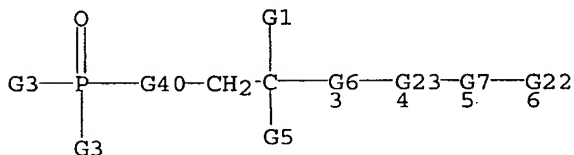
L7 ANSWER 4 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 140:406954 MARPAT
 TITLE: Preparation of thienylalkyl phosphates or
 (thienylalkyl)phosphonic acids as immunosuppressants
 with low toxicity
 INVENTOR(S): Nishi, Takehide; Shimozato, Ryuichi; Nara, Futoshi
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 199 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004137208	A2	20040513	JP 2002-304196	20021018
PRIORITY APPLN. INFO.:			JP 2002-304196	20021018
GI				



AB The title compds. I [R1, R2 = H, lower aliphatic acyl, lower alkoxy-carbonyl; R3, R8 = H, protecting group; R4 = H, lower (hydroxy)alkyl; n = 1-6; X = ethylene, vinylene, ethynylene, C6-10 arylene, etc.; Y = bond, C1-10 (un)substituted alkylene; Z = O, CH2; R5 = H, (un)substituted C3-10 cycloalkyl, (un)substituted C6-10 aryl, (un)substituted heterocyclyl; when R5 = H, then Y ≠ bond; R6, R7 = H, halo, lower (halo)alkyl, lower alkoxy, OH, cyano, NO2, etc.], their pharmacol. acceptable salts, or esters are prepared. Thus, treatment of bis(allyl) mono[(2R)-tert-butoxycarbonylamino-2-methyl-4-[5-(5-phenylpentanoyl)thiophen-2-yl]butyl] phosphate with tetrakis(triphenylphosphine)palladium gave 69% mono[(2R)-amino-2-methyl-4-[5-(5-phenylpentanoyl)thiophen-2-yl]butyl] phosphate, which inhibited host vs. graft reaction in rats with ID50 value of 0.0878 mg/kg.

MSTR 1



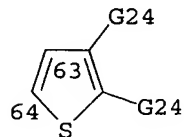
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43-4 44-6 / 45-4 46-6

G8-G13 18 19 G14-G15-G16 30 31 32 G19-G15-G30 38 40 G20-G15 43 44 G21-G25 45 46

G8 = C(O)
G13 = 35-18 37-6 / 41-18 42-6

G17-G15-G18 35 37 G27-G15 41 42

G15 = S
G23 = 63-3 64-5



G24 = NH2

G25 = 92-45 94-6 / 95-45 96-6

G18-G15-G31 G28-G15
 92 94 95 96

G27 = alkylene <containing 1-10 C>
 (opt. substd. by (1-3) G12)

Patent location: claim 1

Note: or pharmacologically acceptable salts or esters

Note: additional heteroatom interruptions also claimed

Note: substitution is restricted

Note: also incorporates claim 7

L7 ANSWER 5 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:323429 MARPAT

TITLE: Preparation of 2-thiadibenzoazulenes and related compounds as inhibitors of tumor necrosis factor production

INVENTOR(S): Mercep, Mladen; Mesic, Milan; Pesic, Dijana; Ozimec, Ivana

PATENT ASSIGNEE(S): Pliva D.D., Croatia

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

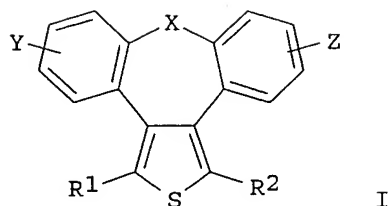
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2481514	AA	20031016	CA 2003-2481514	20030409
AU 2003259963	A1	20031020	AU 2003-259963	20030409
BR 2003009094	A	20050209	BR 2003-9094	20030409
EP 1509530	A1	20050302	EP 2003-745849	20030409
EP 1509530	B1	20060315		
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	
CN 1649875	A	20050803	CN 2003-809493	20030409
US 2005182126	A1	20050818	US 2003-510866	20030409
JP 2005526828	T2	20050908	JP 2003-582159	20030409
AT 320433	E	20060415	AT 2003-745849	20030409
US 2005131056	A1	20050616	US 2004-965659	20041012
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			WO 2003-HR16	20030409

GI

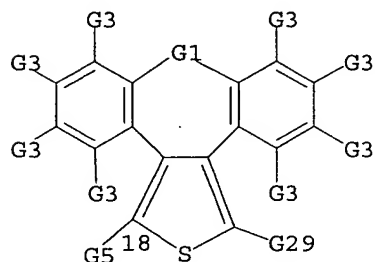


AB Title compds. [I; X = CH₂, O, S, SO, SO₂, NR_a; R_a = H, protecting group; Y, Z = halo, alkyl, alkenyl, alkynyl, CF₃, haloalkyl, OH, alkoxy, OCF₃, alkanoyl, amino, aminoalkyl, alkylamino, alkylamino, dialkylamino, SH, alkylthio, sulfonyl, alkylsulfonyl, sulfinyl, alkylsulfinyl, CO₂H, alkoxycarbonyl, cyano, NO₂; R₁ = H, halo, (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, OH, hydroxyalkenyl, hydroxyalkynyl, alkoxy, SH, thioalkenyl, thioalkynyl, alkylthio, amino, (di)alkylamino, alkylamino, aminoalkenyl, aminoalkynyl, aminoalkoxy, alkanoyl, aroyl, oxoalkyl, alkanoyloxy, CO₂H, (substituted) alkyloxycarbonyl, aryloxycarbonyl, carbamoyl, (di)alkylcarbamoyl, cyano, cyanoalkyl, sulfonyl, alkylsulfonyl, sulfinyl, alkylsulfinyl, NO₂, (CH₂)_mQ₁(CH₂)_nQ₂NR₃R₄; R₃, R₄ = H, alkyl, aryl; NR₃R₄ = (substituted) heterocyclyl, heteroaryl; m, n = 0-3; Q₁, Q₂ = O, S, CY₁Y₂, NY₁, CY₁:CH, C.tplbond.C; Y₁, Y₂ = H, halo, (substituted) alkyl, aryl, OH, alkoxy, alkanoyl, thiol, alkylthio, sulfonyl, alkylsulfonyl, sulfinyl, alkylsulfinyl, cyano, NO₂; Y₁Y₂ = CO, NH; R₂ = H, CO₂H, alkyloxycarbonyl], were prepared as inhibitors of production of cytokines or inflammation

mediators

(no data). To a solution of 3-dimethylaminopropyl chloride hydrochloride in 50% NaOH were added benzyltriethylammonium chloride and (2,8-dithiadibenzo[e,h]azulen-1-yl)methanol in PhMe; the reaction mixture was heated under vigorous stirring and refluxing for 5 h to give [3-(2,8-dithiadibenzo[e,h]azulen-1-ylmethoxy)propyl]dimethylamine.

MSTR 1



G5 = 53

G14-G16
53 54

G14 = 67-18 70-54 / 112-18 114-54

$\begin{matrix} \text{G27-G19-G15-G19} \\ 67 \quad \quad \quad 70 \end{matrix}$ $\begin{matrix} \text{G19-G15-G19} \\ 112 \quad \quad 114 \end{matrix}$

G15 = alkylene <containing 1-3 C, unbranched>
 G19 = carbon chain <containing 1 or more C,
 0-1 double bond, 0-1 triple bond> (opt. substd. by G20) /
 78

$\begin{matrix} \text{C} \\ 78 \end{matrix} = \text{G22}$

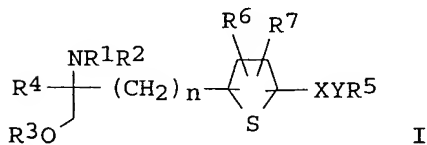
G20 = SH
 G22 = O
 G29 = CO₂H
 Patent location: claim 1
 Note: and pharmacologically acceptable salts and solvates
 Note: additional ring possibilities also claimed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 139:271046 MARPAT
 TITLE: Pharmaceutical compositions containing
 immunosuppressant thiophene amino alcohols and
 preparation of their intermediates
 INVENTOR(S): Nishi, Takehide; Takemoto, Toshiyasu; Nara, Futoshi;
 Shimozato, Ryuichi
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 150 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003267974	A2	20030925	JP 2003-1715	20030108
PRIORITY APPLN. INFO.:			JP 2002-4425	20020111

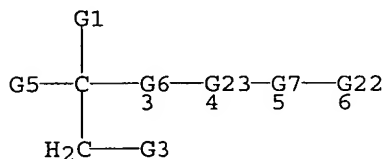
GI



AB The compns., useful for prevention and treatment of autoimmune diseases, chronic articular rheumatism, and transplant rejection, contain amino alcs. I (R₁-R₃ = H, protective group; R₄ = lower alkyl; n = 1-6; X = ethylene, vinylene, ethynylene, etc.; Y = single bond, C₁-10 alkylene, etc.; R₅ = H, cycloalkyl, aryl, heterocyclyl, etc.; R₆, R₇ = H, halo,

lower alkyl, etc.), their salts, esters, or their derivs.
 (4R)-[2-[5-(5-cyclohexylpent-1-ynyl)thiophen-2-yl]]ethyl-4-methyloxazolidin-2-one (preparation given) was treated with KOH in THF/MeOH/H₂O under reflux for 18 h to give 83% (2R)-amino-2-methyl-4-[5-(5-cyclohexylpent-1-ynyl)thiophen-2-yl]butan-1-ol, which showed host vs. graft reaction inhibition in rats with ID₅₀ of 0.0843 mg/kg.

MSTR 1



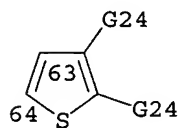
G7 = 18-4 19-6 / 30-4 32-6 / 38-4 40-6 /
 43-4 44-6 / 45-4 46-6

G8-G13 G14-G15-G16 G19-G15-G18 G20-G15 G21-G25
 18 19 30 31 32 38 40 43 44 45 46

G8 = C(O)
 G13 = 35-18 37-6 / 41-18 42-6

G17-G15-G18 G16-G15
 35 37 41 42

G15 = S
 G16 = alkylene <containing 1-10 C>
 (opt. substd. by (1-3) G12)
 G23 = 63-3 64-5



G24 = NH₂
 G25 = 92-45 94-6 / 95-45 96-6

G18-G15-G18 G16-G15
 92 94 95 96

Patent location: claim 1
 Note: or pharmacologically acceptable salts or esters
 Note: additional heteroatom interruptions also claimed
 Note: substitution is restricted

L7 ANSWER 7 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 138:271529 MARPAT

TITLE: Preparation of 2-amino-3-thiophenecarboxamides as Tie-2 and VEGFR kinase inhibitors for treatment of cancer

INVENTOR(S): Adams, Jerry Leroy; Silva, Domingos

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

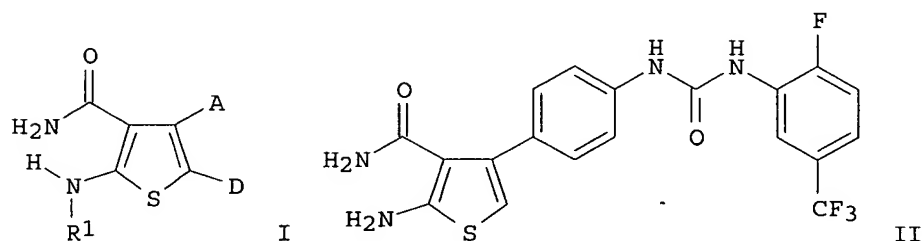
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027093	A1	20030403	WO 2002-US29739	20020920
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1436279	A1	20040714	EP 2002-761740	20020920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504806	T2	20050217	JP 2003-530681	20020920
US 2004192941	A1	20040930	US 2004-489942	20040317
PRIORITY APPLN. INFO.:			US 2001-324003P	20010921
			WO 2002-US29739	20020920

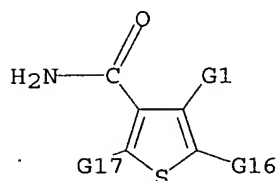
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AB Title compds. I [wherein A = RR3, CO2R4, CONR5R6, COR4, or (un)substituted (hetero)aryl or heterocyclyl; D = H, halo, RR3, CO2R4, CONR5R6, COR4, or (un)substituted (hetero)aryl or heterocyclyl; R = independently (un)substituted alkylene, alkenylene, or alkynylene; R1 = H, CO2R7, CONR7R7, or (un)substituted (cyclo)alkyl, (cyclo)alkoxy, (hetero)aryl, aralkyl, aryloxy, or heterocyclyl; R3 = independently halo, CN, NHCOR4, NHCSR4, NR5R6, RNR5R6, SR4, SO2R4, RCO2R4, CO2R4, COR4, CONR5R6, NHSO2R4, SO2NR5R6, NHC(=NH)R4, or (un)substituted (cyclo)alkyl, haloalkyl, (cyclo)alkoxy, haloalkoxy, (hetero)aryl, aralkyl, aryloxy, or heterocyclyl; R4 = independently H, RR3, (un)substituted alkyl, (hetero)aryl, heterocyclyl, amino, or hydrazino; R5 = independently H or (un)substituted (cyclo)alkyl, cyanoalkyl, (hetero)aryl, aralkyl,

carboxyamino, ureido, etc.; R6 = independently H or (un)substituted (cyclo)alkyl, cyanoalkyl, (hetero)aryl, aralkyl, carboxy, ureido, etc.; R7 = independently H or (un)substituted alkyl or aryl; and salts, solvates, or physiol. functional derivs. thereof] were prepared as vascular endothelial growth factor receptor 2 (VEGFR-2) kinase and Tie-2 kinase inhibitors. For example, reaction of elemental sulfur, cyanoacetamide, and p-nitroacetophenone in the presence of morpholine in EtOH gave 2-amino-4-(4-nitrophenyl)thiophene-3-carboxylic acid amide. Reduction to the amine with tin in 6M HCl, followed by coupling with 2-fluoro-5-trifluoromethylphenylisocyanate provided II. The latter inhibited Tie-2 kinase in a fluorescence polarization kinase activity assay with pIC50 > 7.0. Thus, I are useful for the treatment of disorders characterized by inappropriate angiogenesis, such as cancer (no data).

MSTR 1



G1 = 42

 $\begin{smallmatrix} \text{G9} \\ \text{42} \end{smallmatrix} \text{---G2}$

G2 = SH (opt. substd.)

G9 = carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

G15 = 62

 $\begin{smallmatrix} \text{G9} \\ \text{62} \end{smallmatrix} \text{---G2}$

G16 = 72 / 74 / 76

 $\begin{smallmatrix} \text{G9} \\ \text{72} \end{smallmatrix} \text{---G2} \quad \begin{smallmatrix} \text{G14} \\ \text{74} \end{smallmatrix} \text{---G15} \quad \begin{smallmatrix} \text{C(O)} \\ \text{76} \end{smallmatrix} \text{---G15}$

G17 = NH2

Patent location:

Note:

claim 1

or salts, solvates or physiologically functional
derivatives

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

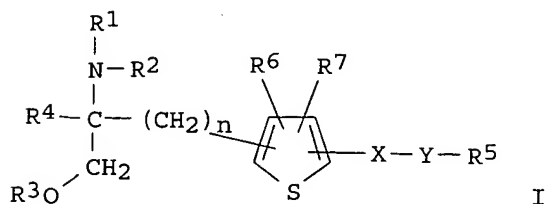
ACCESSION NUMBER: 136:134664 MARPAT

TITLE: Preparation of aminoalkanol moiety-containing

thiophene derivatives as immunosuppressants
 INVENTOR(S): Nishi, Takahide; Takemoto, Toshiyasu; Shimoizato, Takaichi; Nara, Futoshi
 PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
 SOURCE: PCT Int. Appl., 373 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

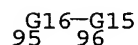
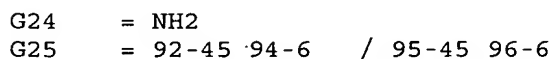
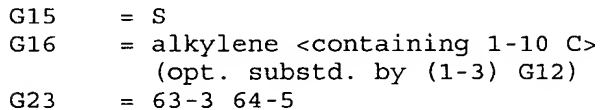
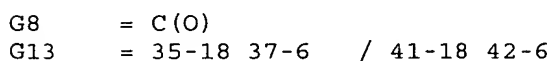
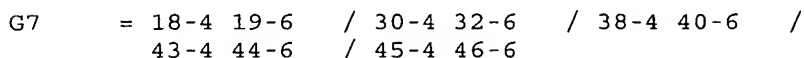
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006268	A1	20020124	WO 2001-JP5988	20010710
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, SG, SK, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2001069503	A5	20020130	AU 2001-69503	20010710
CA 2415678	AA	20030110	CA 2001-2415678	20010710
EP 1300405	A1	20030409	EP 2001-947965	20010710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR 2001012484	A	20030923	BR 2001-12484	20010710
CN 1494540	A	20040505	CN 2001-815340	20010710
RU 2233839	C1	20040810	RU 2003-100534	20010710
NZ 523554	A	20041224	NZ 2001-523554	20010710
CN 1680563	A	20051012	CN 2005-10059091	20010710
NZ 533997	A	20051125	NZ 2001-533997	20010710
JP 2002167382	A2	20020611	JP 2001-211778	20010712
ZA 2003000086	A	20040405	ZA 2003-86	20030103
US 2003236297	A1	20031225	US 2003-337702	20030107
US 6723745	B2	20040420		
NO 2003000120	A	20030311	NO 2003-120	20030110
US 2004132784	A1	20040708	US 2003-718858	20031120
US 6964976	B2	20051115		
PRIORITY APPLN. INFO.:			JP 2000-212246	20000713
			JP 2000-241744	20000809
			JP 2000-283218	20000919
			CN 2001-815340	20010710
			WO 2001-JP5988	20010710
			US 2003-337702	20030107

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AB The title compds. I [R1 and R2 are each hydrogen or an amino-protecting group; R3 is hydrogen or a hydroxyl-protecting group; R4 is lower alkyl; n

MSTR 1



Saloni Sharma

06/01/2006

Note: substitution is restricted

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 9 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 127:191197 MARPAT

TITLE: Energy beam-sensitive acid generators with no toxicity or odor and high solubility, compositions thereof, and curable compositions using the same

INVENTOR(S): Toba, Yasumasa; Tanaka, Yasuhiro; Yasuike, Madoka

PATENT ASSIGNEE(S): Toyo Ink Mfg. Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

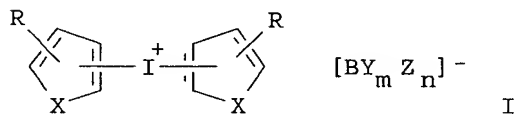
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

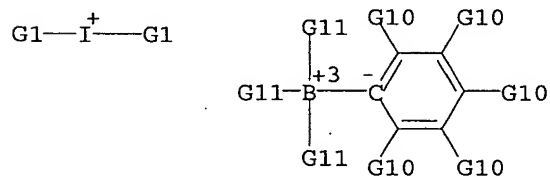
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09183960	A2	19970715	JP 1995-342493	19951228
PRIORITY APPLN. INFO.:			JP 1995-342493	19951228

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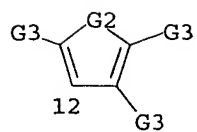


AB The title generators are iodonium borates I (X = O, S; R = F, Cl, Br, OH, alkyl, etc.; Y = F, Cl; Z = electron-withdrawing group-substituted Ph group; m = 0-3; n = 1-4; m + n = 4); the compns. contain I and sensitizers, and the curable compns. contain the acid generator compns. and acid-curable compns., and optionally radical polymerizable compns. and radiation generators. A mixture of 100 parts ERL-4221 and 1 part di(2-furyl)iodonium tetrakis(pentafluorophenyl)borate was irradiated with a 500 mW high-pressure Hg lamp from 10 cm distance for 5 min to effect curing.

MSTR 1



G1 = 12



G2 = S
G3 = CO₂H / 23

$\text{C}(\text{O})\text{G7}$
23

G4 = SH
G7 = carbon chain <containing 1-18 C>
(opt. substd. by 1 or more G4)
Patent location: claim 1